



# STIC Search Report

## Biotech-Chem Library

STIC Database Tracking Number: 195102

**TO:** CECILIA JAISLE  
**Location:** REM/4E78/5C18  
**Art Unit:** 1624  
**Thursday, July 13, 2006**  
**Case Serial Number:** 10/789165

**From:** Saloni Sharma  
**Location:** Biotech-Chem Library  
**REM-1A64**  
**Phone:** (571)272-8601  
  
**saloni.sharma@uspto.gov**

### Search Notes

Examiner JAISLE,

See attached results.

If you have any questions about this search feel free to contact me at any time.

Thank you for using STIC search services!

Saloni Sharma  
Technical Information Specialist  
STIC Biotech/Chem Library  
(571)272-8601



# STIC SEARCH RESULTS FEEDBACK FORM

## Biotech-Chem Library

Questions about the scope or the results of the search? Contact *the searcher or contact:*

Mary Hale, Information Branch Supervisor  
Remsen Bldg. 01 D86  
571-272-2507

## Voluntary Results Feedback Form

- I am an examiner in Workgroup:  Example: 1610
- Relevant prior art found, search results used as follows:
- 102 rejection
  - 103 rejection
  - Cited as being of interest.
  - Helped examiner better understand the invention.
  - Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

- Foreign Patent(s).
- Non-Patent Literature  
(journal articles, conference proceedings, new product announcements etc.)

➤ Relevant prior art not found:

- Results verified the lack of relevant prior art (helped determine patentability).
- Results were not useful in determining patentability or understanding the invention.

Comments:

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Scientific and Technical Information Center  
SEARCH REQUEST FORM

Requester's Full Name: Cecilia Jaisle Examiner #: 82013 Date: 7-10-06  
 Art Unit: 1624 Phone Number: 2-9931 Serial Number: 10/789165 (2004)  
 Location (Bldg/Room#): REM 4E78 (Mailbox #): 5-C18 Results Format Preferred (circle): PAPER DISK  
\*\*\*\*\*

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: See Bill Data Sheet

Inventors (please provide full names): \_\_\_\_\_

Earliest Priority Date: \_\_\_\_\_

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

*Please call with any questions.*

\*\*\*\*\*  
**STAFF USE ONLY**  
 Searcher: Gainskis  
 Searcher Phone #: \_\_\_\_\_  
 Searcher Location: \_\_\_\_\_  
 Date Searcher Picked Up: 7/11/06  
 Date Completed: 7/18/06  
 Searcher Prep & Review Time: 40  
 Online Time: 25

Type of Search  
 NA Sequence (#)  
 AA Sequence (#)  
 Structure (#)  
 Bibliographic  
 Litigation  
 Fulltext  
 Other

Vendors and cost where applicable  
 STN       Dialog  
 Questel/Orbit       Lexis/Nexis  
 Westlaw       WWW/Internet  
 In-house sequence systems  
 Commercial       Oligomer       Score/Length  
 Interference       SPDI       Encode/Transl  
 Other (specify)

=> d his nofile

(FILE 'HOME' ENTERED AT 10:02:23 ON 13 JUL 2006)

FILE 'REGISTRY' ENTERED AT 10:02:31 ON 13 JUL 2006

L1           STRUCTURE UPLOADED  
L2           1 SEA SSS SAM L1  
            D QUE L1  
L3           9 SEA SSS FUL L1  
            D SCAN

FILE 'STNGUIDE' ENTERED AT 10:03:59 ON 13 JUL 2006

FILE 'CAPPLUS' ENTERED AT 10:04:48 ON 13 JUL 2006

L4           E US2004-789165/APPS  
            1 SEA ABB=ON PLU=ON US2004-789165/AP  
            SEL RN L4

FILE 'REGISTRY' ENTERED AT 10:05:04 ON 13 JUL 2006

L5           15 SEA ABB=ON PLU=ON (1121-60-4/BI OR 156-43-4/BI OR 4315-07-5/B  
            I OR 473719-41-4/BI OR 473720-89-7/BI OR 473720-92-2/BI OR  
            5345-47-1/BI OR 752244-90-9/BI OR 752244-91-0/BI OR 752244-92-1  
            /BI OR 752244-93-2/BI OR 752244-94-3/BI OR 752244-95-4/BI OR  
            752244-96-5/BI OR 7764-95-6/BI)  
            D SCAN

FILE 'RÉGISTRY' ENTERED AT 10:05:45 ON 13 JUL 2006

L6           6 SEA ABB=ON PLU=ON L5 AND L3

FILE 'CAPPLUS' ENTERED AT 10:06:00 ON 13 JUL 2006

L7           2 SEA ABB=ON PLU=ON L6  
L8           2 SEA ABB=ON PLU=ON L3  
L9           2 SEA ABB=ON PLU=ON (L7 OR L8 OR L4)

FILE 'BEILSTEIN' ENTERED AT 10:06:24 ON 13 JUL 2006

L10          0 SEA SSS FUL L1

FILE 'MÄRPAT' ENTERED AT 10:06:43 ON 13 JUL 2006

L11          0 SEA SSS SAM L1  
L12          3 SEA SSS FUL L1  
L13          2 SEA ABB=ON PLU=ON L12 NOT L9

FILE 'CAPPLUS' ENTERED AT 10:07:17 ON 13 JUL 2006

E COLLINS T/AU  
L14          103 SEA ABB=ON PLU=ON ("COLLINS T"/AU OR "COLLINS T L"/AU OR  
            "COLLINS T L D"/AU OR "COLLINS T L JR"/AU OR "COLLINS T LEO  
            JR"/AU OR "COLLINS TASSIE"/AU OR "COLLINS TASSIE L"/AU OR  
            "COLLINS TASSIE LYNNE"/AU)  
            E JOHNSON M/AU

L15          7132 SEA ABB=ON PLU=ON JOHNSON M?/AU  
            E MA J/AU

L16          7716 SEA ABB=ON PLU=ON MA J?/AU  
            E MEDINA J/AU

L17          185 SEA ABB=ON PLU=ON ("MEDINA J"/AU OR "MÉDINA J C"/AU OR  
            "MEDINA J C O"/AU OR "MEDINA JULIO"/AU OR "MEDINA JULIO C"/AU  
            OR "MEDINA JULIO CESAR"/AU OR "MEDINA JULIO VARGAS"/AU)  
            E MIAO S/AU

L18          57 SEA ABB=ON PLU=ON ("MIAO S"/AU OR "MIAO S B"/AU OR "MIAO S  
            H"/AU OR "MIAO S L"/AU OR "MIAO S M"/AU OR "MIAO S P"/AU OR

"MIAO S Q"/AU OR "MIAO S W"/AU OR "MIAO S Y"/AU OR "MIAO SCHICHANG"/AU)  
E TONN G/AU  
L19 20 SEA ABB=ON PLU=ON ("TONN G"/AU OR "TONN G R"/AU OR "TONN GARY A"/AU OR "TONN GEORGE"/AU OR "TONN GEORGE R"/AU OR "TONN GEORGE ROGER"/AU)  
E SCHNEIDER M/AU  
L20 1357 SEA ABB=ON PLU=ON ("SCHNEIDER M A"/AU OR "SCHNEIDER M ALEXANDER"/AU OR "SCHNEIDER M B"/AU OR "SCHNEIDER M C"/AU OR "SCHNEIDER M CHARLES"/AU OR "SCHNEIDER M D"/AU OR "SCHNEIDER M DEL P"/AU OR "SCHNEIDER M E"/AU OR "SCHNEIDER M F"/AU OR "SCHNEIDER M FRANZ"/AU OR "SCHNEIDER M G"/AU OR "SCHNEIDER M H"/AU OR "SCHNEIDER M I"/AU OR "SCHNEIDER M J"/AU OR "SCHNEIDER M J T"/AU OR "SCHNEIDER M K H"/AU OR "SCHNEIDER M K J"/AU OR "SCHNEIDER M L"/AU OR "SCHNEIDER M M"/AU OR "SCHNEIDER M M E"/AU OR "SCHNEIDER M O"/AU OR "SCHNEIDER M P"/AU OR "SCHNEIDER M P C"/AU OR "SCHNEIDER M R"/AU OR "SCHNEIDER M S"/AU OR "SCHNEIDER M U"/AU OR "SCHNEIDER M V"/AU OR "SCHNEIDER M W"/AU OR "SCHNEIDER M WENDY"/AU OR "SCHNEIDER MANFRED"/AU OR "SCHNEIDER MANFRED DIPL ING"/AU OR "SCHNEIDER MANFRED K H"/AU OR "SCHNEIDER MANFRED KARL HEINRICH"/AU OR "SCHNEIDER MANFRED P"/AU)  
L21 14 SEA ABB=ON PLU=ON (L14 AND (L15 OR L16 OR L17 OR L18 OR L19 OR L20)) OR (L15 AND (L16 OR L17 OR L18 OR L19 OR L20)) OR (L16 AND (L17 OR L18 OR L19 OR L20)) OR (L17 AND (L18 OR L19 OR L20)) OR (L18 AND (L19 OR L20)) OR (L19 AND L20)  
L22 0 SEA ABB=ON PLU=ON L9 NOT (PY>2003 OR AY>2003 OR PRY>2003)

=> file caplus

FILE 'CAPLUS' ENTERED AT 10:15:36 ON 13 JUL 2006  
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FILE LAST UPDATED: 12 Jul 2006 (20060712/ED)

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'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

=> d que 121  
L14 103 SEA FILE=CAPLUS ABB=ON PLU=ON ("COLLINS T"/AU OR "COLLINS T L"/AU OR "COLLINS T L D"/AU OR "COLLINS T L JR"/AU OR "COLLINS T LEO JR"/AU OR "COLLINS TASSIE"/AU OR "COLLINS TASSIE L"/AU OR "COLLINS TASSIE LYNNE"/AU)

L15 7132 SEA FILE=CAPLUS ABB=ON PLU=ON JOHNSON M?/AU  
 L16 7716 SEA FILE=CAPLUS ABB=ON PLU=ON MA J?/AU  
 L17 185 SEA FILE=CAPLUS ABB=ON PLU=ON ("MEDINA J"/AU OR "MEDINA J C"/AU OR "MEDINA J C O"/AU OR "MEDINA JULIO"/AU OR "MEDINA JULIO C"/AU OR "MEDINA JULIO CESAR"/AU OR "MEDINA JULIO VARGAS"/AU)  
 L18 57 SEA FILE=CAPLUS ABB=ON PLU=ON ("MIAO S"/AU OR "MIAO S B"/AU OR "MIAO S H"/AU OR "MIAO S L"/AU OR "MIAO S M"/AU OR "MIAO S P"/AU OR "MIAO S Q"/AU OR "MIAO S W"/AU OR "MIAO S Y"/AU OR "MIAO SCHICHANG"/AU)  
 L19 20 SEA FILE=CAPLUS ABB=ON PLU=ON ("TONN G"/AU OR "TONN G R"/AU OR "TONN GARY A"/AU OR "TONN GEORGE"/AU OR "TONN GEORGE R"/AU OR "TONN GEORGE ROGER"/AU)  
 L20 1357 SEA FILE=CAPLUS ABB=ON PLU=ON ("SCHNEIDER M"/AU OR "SCHNEIDER M A"/AU OR "SCHNEIDER M ALEXANDER"/AU OR "SCHNEIDER M B"/AU OR "SCHNEIDER M C"/AU OR "SCHNEIDER M CHARLES"/AU OR "SCHNEIDER M D"/AU OR "SCHNEIDER M DEL P"/AU OR "SCHNEIDER M E"/AU OR "SCHNEIDER M F"/AU OR "SCHNEIDER M FRANZ"/AU OR "SCHNEIDER M G"/AU OR "SCHNEIDER M H"/AU OR "SCHNEIDER M I"/AU OR "SCHNEIDER M J"/AU OR "SCHNEIDER M J T"/AU OR "SCHNEIDER M K H"/AU OR "SCHNEIDER M K J"/AU OR "SCHNEIDER M L"/AU OR "SCHNEIDER M M E"/AU OR "SCHNEIDER M O"/AU OR "SCHNEIDER M P"/AU OR "SCHNEIDER M P C"/AU OR "SCHNEIDER M R"/AU OR "SCHNEIDER M S"/AU OR "SCHNEIDER M U"/AU OR "SCHNEIDER M V"/AU OR "SCHNEIDER M W"/AU OR "SCHNEIDER M WENDY"/AU OR "SCHNEIDER MANFRED"/AU OR "SCHNEIDER MANFRED DIPL ING"/AU OR "SCHNEIDER MANFRED K H"/AU OR "SCHNEIDER MANFRED KARL HEINRICH"/AU OR "SCHNEIDER MANFRED P"/AU)  
 L21 14 SEA FILE=CAPLUS ABB=ON PLU=ON (L14 AND (L15 OR L16 OR L17 OR L18 OR L19 OR L20)) OR (L15 AND (L16 OR L17 OR L18 OR L19 OR L20)) OR (L16 AND (L17 OR L18 OR L19 OR L20)) OR (L17 AND (L18 OR L19 OR L20)) OR (L18 AND (L19 OR L20)) OR (L19 AND L20)

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L21 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2006:540360 CAPLUS  
 TITLE: the SAR study of Benzodiazepine receptor bivalent ligands by low temperature NMR spectroscopy and X-ray analysis  
 AUTHOR(S): Huang, Shengming; Clayton, Terry; Dai, Minghuan; Yin, Wenyuan; Ma, Jun; Edwankar, Rahul; Sawant, Chitra; Van Linn, Michael; Teng, Yun; Johnson, Merle; Forsterling, Holger F.; Cook, James M.  
 CORPORATE SOURCE: Department of Chemistry, University of Wisconsin-Milwaukee, Milwaukee, WI, 53211, USA  
 SOURCE: Abstracts, 37th Great Lakes Regional Meeting of the American Chemical Society, Milwaukee, WI, United States, May 31-June 2 (2006), GLRM-155. American Chemical Society: Washington, D. C.  
 CODEN: 69ICX4  
 DOCUMENT TYPE: Conference; Meeting Abstract  
 LANGUAGE: English  
 AB The stable conformations of GABA<sub>A</sub>-benzodiazepine receptor bivalent ligands which contained linkers of different length were determined by low temperature NMR spectroscopy and confirmed by single crystal X-ray anal. <sup>1</sup>HNMR, <sup>13</sup>CNMR, COSY, PECOSY, NOESY, ROESY and HSQC etc were run at variable temps. in

both protic and aprotic polar solvents. The results indicate the behavior in solution mirrors that in the solid state. The linear conformation is important for these dimers to access the BzR binding site and exhibit potent *in vitro* affinity. Bivalent ligands which folded back upon themselves did not bind to Bz receptors. Anal. of the results of this study reveals the type and length of linker play an important role in the conformation of bivalent ligands and the affinity at BzR in these series. This will help to design bivalent ligands in the future.

L21 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2006:315167 CAPLUS  
 DOCUMENT NUMBER: 145:27899  
 TITLE: Optimization of 2-aminothiazole derivatives as CCR4 antagonists  
 AUTHOR(S): Wang, Xuemei; Xu, Feng; Xu, Qingge; Mahmud, Hossen; Houze, Jonathan; Zhu, Liusheng; Akerman, Michelle; Tonn, George; Tang, Liang; McMaster, Brian E.; Dairaghi, Daniel J.; Schall, Thomas J.; Collins, Tassie L.; Medina, Julio C.  
 CORPORATE SOURCE: Amgen Inc., South San Francisco, CA, 94080, USA  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(10), 2800-2803  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PUBLISHER: Elsevier B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB A series of 2-aminothiazole antagonists of the CCR4 receptor were synthesized and their affinity for the receptor evaluated using a [<sup>125</sup>I]TARC (CCL17) displacement assay. Optimization of these compds. for potency and pharmacokinetic properties led to potent, orally bioavailable antagonists.  
 REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2006:248858 CAPLUS  
 TITLE: Synthesis of the CXCR3 antagonist AMG 487  
 AUTHOR(S): Johnson, Michael G.; Li, An-Rong; Liu, Jiwen; Marcus, Andrew P.; Huang, Alan X.; Medina, Julio C.  
 CORPORATE SOURCE: Department of Chemistry, Amgen Inc, South San Francisco, CA, 94114, USA  
 SOURCE: Abstracts of Papers, 231st ACS National Meeting, Atlanta, GA, United States, March 26-30, 2006 (2006), ORGN-143. American Chemical Society: Washington, D.C.  
 CODEN: 69HYEC  
 DOCUMENT TYPE: Conference; Meeting Abstract; (computer optical disk)  
 LANGUAGE: English  
 AB AMG 487 is a small mol. antagonist of the chemokine receptor CXCR3, a biol. target expressed primarily on activated T cells and implicated in a variety of autoimmune diseases. In this poster we outline several synthetic routes to the 8-azaquinazolinone core found in AMG 487 and describe the optimized sequence that was employed to produce kilogram quantities of the final product in 6 steps, in 18% overall yield and >99% chemical and enantiomeric purity.

L21 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2006:248342 CAPLUS

TITLE: Optimization and biological profile of 2,3-substituted quinazolin-4-ones as potent CXCR3 antagonists  
AUTHOR(S): Medina, Julio C.; Collins, Tassie L.  
; Johnson, Michael; Li, An-Rong; Fu, Zice;  
Liu, Jiwen; Huang, Alan; Tonn, George;  
Dairaghi, Daniel; Lawrence, Christopher; Hollander,  
Georges; Piali, Luca; Schall, Thomas; Sullivan, Tim;  
Ye, Qiuping  
CORPORATE SOURCE: Amgen SF, South San Francisco, CA, 94080, USA  
SOURCE: Abstracts of Papers, 231st ACS National Meeting,  
Atlanta, GA, United States, March 26-30, 2006 (2006),  
MEDI-190. American Chemical Society: Washington, D.  
C.  
CODEN: 69HYEC

DOCUMENT TYPE: Conference; Meeting Abstract; (computer optical disk)  
LANGUAGE: English

AB CXCR3 is a chemokine receptor associated with the recruitment of leukocytes from the peripheral blood into inflamed tissue. The ligands for CXCR3 are MIG (CXCL9), IP10 (CXCL10) and ITAC (CXCL11). CXCR3 and its ligands are found in increased levels in samples of diseased tissue taken from patients suffering from organ transplant rejection, inflammatory bowel disease, multiple sclerosis, psoriasis and rheumatoid arthritis. Therefore, it has been postulated that blockade of CXCR3 may play a beneficial role in the treatment of these diseases. In this presentation we will describe the optimization of the potency and pharmacokinetic properties of a series of 2,3-substituted quinazolin-4-ones with potent CXCR3 antagonism that led to the discovery of the clin. candidate AMG 487. In addition, we will also discuss the efficacy of these compds. in several in vivo models.

L21 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:248286 CAPLUS  
TITLE: Discovery and optimization of a series of 2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indole derivatives as CXCR3 antagonists  
AUTHOR(S): Zhu, Liusheng; Xu, Feng; Collins, Tassie L.; Medina, Julio C.  
CORPORATE SOURCE: Chemistry Department, Amgen SF, South San Francisco, CA, 94080, USA  
SOURCE: Abstracts of Papers, 231st ACS National Meeting, Atlanta, GA, United States, March 26-30, 2006 (2006), MEDI-133. American Chemical Society: Washington, D. C.  
CODEN: 69HYEC

DOCUMENT TYPE: Conference; Meeting Abstract; (computer optical disk)  
LANGUAGE: English

AB The CXCR3 receptor and its ligands MIG (CXCL9), IP-10 (CXCL10) and ITAC (CXCL11) have been implicated in a variety of inflammatory and autoimmune diseases. Cells expressing CXCR3 have been identified in diseased tissue from transplant rejection, psoriasis, rheumatoid arthritis and multiple sclerosis patients. Moreover, the ligands for CXCR3 (MIG, IP-10, ITAC) are upregulated within many of these tissues. Screening of our chemical library led to the discovery of a novel series of 2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indole derivs. as CXCR3 antagonists. Here we describe the optimization of this series that led to the discovery of potent antagonists exemplified by (1).

L21 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:248277 CAPLUS

TITLE: Tetrahydroquinolines as CTRH2 antagonists  
 AUTHOR(S): Liu, Jiwen; Wang, Yingcai; Sun, Ying; Tang, Lucy;  
 Marshall, Derek; Tonn, George; Medina,  
 Julio C.  
 CORPORATE SOURCE: Chemistry, Amgen Inc, South San Francisco, CA, 94080,  
 USA  
 SOURCE: Abstracts of Papers, 231st ACS National Meeting,  
 Atlanta, GA, United States, March 26-30, 2006 (2006),  
 MEDI-124. American Chemical Society: Washington, D.  
 C.  
 CODEN: 69HYEC  
 DOCUMENT TYPE: Conference; Meeting Abstract; (computer optical disk)  
 LANGUAGE: English  
 AB CTRH2 (chemoattractant receptor-homologous mol. expressed on Th2 cells) is a G protein coupled receptor expressed on eosinophils, basophils, and T helper 2 (Th2) lymphocytes. CTRH2 activation by its ligand, prostaglandin D2 (PGD2), is known to induce eosinophil degranulation and recruitment of lymphocytes to inflammatory sites. In addition, PGD2 is released by mast cells in large amounts during asthmatic responses. Therefore, it has been postulated that blocking CTRH2 could be therapeutically valuable in the treatment of asthma, allergic rhinitis and other allergic diseases. In this presentation, we will disclose a series of tetrahydroquinoline derivs. as high affinity CTRH2 antagonists and we will discuss the optimization of their potency and their pharmacokinetic properties.

L21 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2006:32196 CAPLUS  
 DOCUMENT NUMBER: 144:128994  
 TITLE: Tetrahydroquinazolin-4(3H)-one-related and tetrahydropyrido[2,3-d]pyrimidin-4(3H)-one-related compounds, and their preparation, and pharmaceutical compositions for modulating CXCR3 chemokine receptor and for treatment of inflammatory and immune conditions or disorders  
 INVENTOR(S): Fu, Zice; Johnson, Michael G.; Li, An-Rong;  
 Marcus, Andrew P.; Medina, Julio C.; Bergeron, Philippe; Chen, Xiaoqi; Deignan, Jeffrey; Du, Xiaohui; Duquette, Jason A.; Gustin, Darin; Mihalic, Jeffrey T.  
 PATENT ASSIGNEE(S): Amgen Sf, LLC, USA  
 SOURCE: PCT Int. Appl., 86 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006004925	A1	20060112	WO 2005-US23275	20050628
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF,			

CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM,  
 KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG,  
 KZ, MD, RU, TJ, TM

US 2006069106 A1 20060330 US 2005-168006 20050627

PRIORITY APPLN. INFO.: US 2004-583823P P 20040628

OTHER SOURCE(S): MARPAT 144:128994

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Compds. of formula I where Q is CO, CH<sub>2</sub>CO, CH<sub>2</sub>SO, or CH<sub>2</sub>SO<sub>2</sub>; L is a bond or C1-5 alkylene; A<sub>1</sub>, A<sub>2</sub> and A<sub>3</sub> are independently selected from C(R')(R'') or CO; A<sub>4</sub> is C(R')(R'') or N(R''') where each R' and R'' is independently selected from H, halo, C1-8 alkyl, C2-8 heteroalkyl, C1-4 fluoroalkyl, (hetero)aryl, (hetero)aryl-C1-8-alkyl, optionally, R' and R'' groups on adjacent carbon may be combined to form a 5- or 6-membered fused ring, and R' and R'' groups attached to the same carbon atom may be combined to form a 3- to 8-membered spirocyclic ring; R''' is H, C1-8 alkyl or C2-8 heteroalkyl; R<sub>1</sub> is (hetero)aryl; R<sub>2</sub> is H, halo, C1-10 (heterocyclo)alkyl, C2-10 heteroalkyl, C1-10 alkylaryl or C2-10 alkylheteroaryl, optionally R<sub>2</sub> may be combined with L to form a 5-, 6-, 7-, or 8-membered ring containing 1-3 heteroatoms selected from N, O, or S; R<sub>3</sub> is absent or H, CHR<sub>6</sub>R<sub>7</sub>, S(O)mR<sub>5</sub>, S(O)mN(R<sub>8</sub>)R<sub>9</sub>, N(R<sub>8</sub>)SO<sub>2</sub>R<sub>5</sub>, N(R<sub>8</sub>)CH<sub>2</sub>R<sub>10</sub>, or certain aza/cyclic groups; R<sub>4</sub> = (hetero)alkyl, (hetero)aryl, (hetero)aryl(hetero)alkyl; where R<sub>5</sub> is C1-8 alkyl, or C2-8 heteroalkyl, (hetero)aryl; R<sub>6</sub> and R<sub>7</sub> independently are H, C1-8 alkyl, or C2-8 heteroalkyl; R<sub>8</sub> is H, C1-8 alkyl, C2-8 heteroalkyl, or (hetero)aryl; R<sub>9</sub> is C1-8 alkyl or CH<sub>2</sub>R<sub>6</sub>, R<sub>10</sub> is aryl, m is 0, 1 or 2; with provisos; or a pharmaceutical acceptable salt or prodrug thereof are disclosed in this invention. The subject compds. were useful for treatment of inflammatory and immune conditions and diseases. Compns. and methods of treatment using the invention compds. are also provided. For example, the subject methods were useful for treatment of inflammatory and immune disorders and disease such as multiple sclerosis, rheumatoid arthritis, psoriasis, and inflammatory bowel disease. Example compound II was prepared via conjugate addition of amine III to Et vinyl sulfone, followed by acylation with in situ-prepared 4-fluoro-3-trifluoromethylphenylacetyl chloride and hydrogenation. The invention compds. were useful for modulating CXCR3 chemokine receptor (no data) and for treatment of inflammatory and immune conditions or disorders (no data).

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:32186 CAPLUS

DOCUMENT NUMBER: 144:128974

TITLE: Preparation of imidazole derivatives as CXCR3 inhibitors for treatment of inflammation and immune diseases

INVENTOR(S): Fu, Zice; Johnson, Michael G.; Li, An-Rong;  
 Marcus, Andrew P.; Medina, Julio C.;  
 Bergeron, Philippe; Chen, Xiaoqi; Deignan, Jeffrey;  
 Du, Xiaohui; Duquette, Jason A.; Gustin, Darin;  
 Mihalic, Jeffrey T.

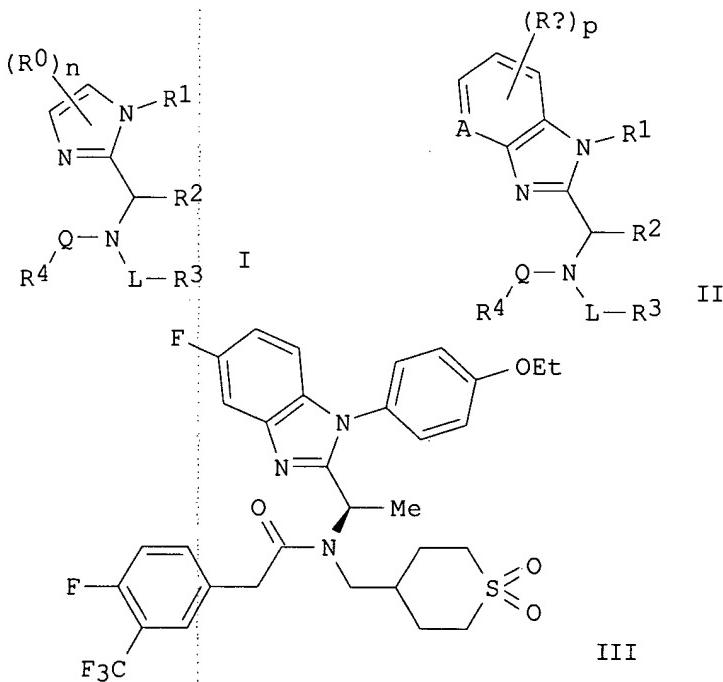
PATENT ASSIGNEE(S): Amgen Sf, LLC, USA

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006004924	A2	20060112	WO 2005-US23274	20050628
WO 2006004924	A3	20060309		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006069127	A1	20060330	US 2005-168193	20050627
PRIORITY APPLN. INFO.:			US 2004-583822P	P 20040628
OTHER SOURCE(S):	MARPAT 144:128974			
GT				

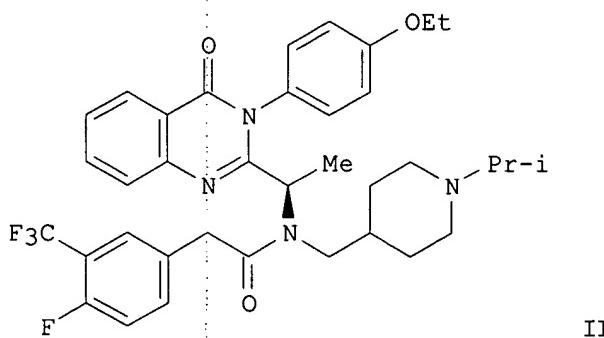
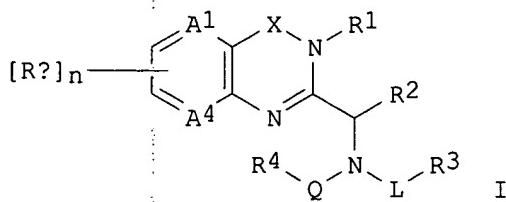


AB The title imidazole derivs. I and II [wherein Q = CO, CH<sub>2</sub>CO, CH<sub>2</sub>SO, or CH<sub>2</sub>SO<sub>2</sub>; L = a bond or alkylene; R<sub>0</sub> = H, (hetero)alkyl, aryl, etc.; n = 0-2; R<sub>1</sub> = (hetero)aryl; R<sub>2</sub> = H, halo, alkyl, aryl, etc.; R<sub>3</sub> = absent, H,

(un)substituted CH<sub>3</sub>, SONH<sub>2</sub>, SO<sub>2</sub>NH<sub>2</sub>, NHCH<sub>3</sub>, etc.; R<sub>4</sub> = (hetero)alkyl, (hetero)aryl, (hetero)arylalkyl, etc.; A = N or (un)substituted CH; Ra = H, (un)substituted OH, =NH, =NOH, SH, etc.] or pharmaceutically acceptable salts, or prodrugs thereof were prepared as CXCR3 inhibitors for treatment of inflammation and immune diseases. For example, the compound III was prepared in a multi-step synthesis. The biol. activity of the title compds. as inhibitors of chemokine receptor CXCR3 were tested. The compds. are useful for the treatment of inflammation and immune diseases, such as multiple sclerosis, rheumatoid arthritis, psoriasis, and inflammatory bowel disease, etc. (no data).

L21 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2006:32072 CAPLUS  
 DOCUMENT NUMBER: 144:128993  
 TITLE: Preparation of fused pyrimidine derivatives as CXCR3 receptor modulators for prevention and treatment of inflammatory and immunoregulatory conditions  
 INVENTOR(S): Fu, Zice; Johnson, Michael G.; Li, An-Rong; Marcus, Andrew P.; Medina, Julio C.; Bergeron, Philippe; Chen, Xiaoqi; Deignan, Jeffrey; Du, Xiaohui; Duquette, Jason A.; Gustin, Darin; Mihalic, Jeffrey T.  
 PATENT ASSIGNEE(S): Amgen Inc., USA  
 SOURCE: PCT Int. Appl., 80 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006004915	A1	20060112	WO 2005-US23251	20050628
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006069099	A1	20060330	US 2005-168005	20050627
PRIORITY APPLN. INFO.:			US 2004-583901P	P 20040628
OTHER SOURCE(S): GI	MARPAT	144:128993		



AB Title compds. I [A1, A4 = independently CH and derivs., N; Q = a bond, hetero/alkylene, CO, CH<sub>2</sub>CO, etc.; L = a bond, alkylene; X = CH<sub>2</sub>, SO<sub>2</sub>, CO; R<sub>1</sub> = H, OH and derivs., halo, etc.; n = 0-4; R<sub>2</sub> = hetero/aryl; R<sub>2</sub> = H, halo, hetero/alkyl, etc.; or R<sub>2</sub> may be combined with L to form a 5- to 8-membered ring containing 1-3 heteroatoms; R<sub>3</sub> = absent, H, SR<sub>5</sub>, NH<sub>2</sub>SO<sub>2</sub>R<sub>5</sub>, piperidin-4-yl, etc.; R<sub>3</sub> may be combined with R<sub>2</sub> to form a 4- to 8-membered ring containing 1-3 heteroatoms; R<sub>5</sub> = hetero/alkyl, hetero/aryl; R<sub>4</sub> = hetero/alkyl, hetero/aryl, etc.; and their pharmaceutically acceptable salts and prodrugs] were prepared as chemokine receptor CXCR3 modulators (no data). Two biol. assays are given. Thus, reductive amination of 1-isopropylpiperidine-4-carboxaldehyde with 2-((1R)-1-aminoethyl)-3-(4-ethoxyphenyl)-4(3H)-quinazolinone, and acylation of the amine intermediate with [4-fluoro-3-(trifluoromethyl)phenyl]acetic acid gave quinazolinone II. I are useful for the treatment of inflammatory and immune disorders and diseases, such as multiple sclerosis, rheumatoid arthritis, psoriasis, and inflammatory bowel disease (no data).

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:739573 CAPLUS

TITLE: Discovery and optimization of 2-aminothiazole derivatives as CCR4 antagonists

AUTHOR(S): Wang, Xuemei; Xu, Feng; Xu, Qingge; Mahmud, Hossen; Houze, Jonathan; Zhu, Liusheng; Akerman, Michelle; Tonn, George; Tang, Liang; Dairaghi, Daniel J.; Collins, Tassie L.; Medina, Julio C.

CORPORATE SOURCE: Amgen SF, South San Francisco, CA, 94080, USA

SOURCE: Abstracts of Papers, 230th ACS National Meeting, Washington, DC, United States, Aug. 28-Sept. 1, 2005 (2005), MEDI-058. American Chemical Society: Washington, D. C.

CODEN: 69HFCL

DOCUMENT TYPE: Conference; Meeting Abstract; (computer optical disk)

LANGUAGE: English

AB CCR4 is a chemokine receptor preferentially expressed on Th2 cells and plays a major role in the infiltration of T cells into inflamed tissues. CCR4 and its ligands, TARC and MDC, are found in increased levels in patients with asthma and atopic dermatitis. Therefore, it has been suggested that CCR4 inhibitors may represent a novel approach to the treatment of these and other immune disorders mediated by Th2 cells. In this study, a series of 2-aminothiazole derivs. was optimized for increased CCR4 antagonistic activity and pharmacokinetic properties. We will report on the discovery of a series of orally bioavailable, highly potent CCR4 antagonists with improved pharmacokinetic properties.

L21 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:740142 CAPLUS

DOCUMENT NUMBER: 141:248743

TITLE: CXCR3 antagonists containing N-(heteroarylalkyl)acylamides

INVENTOR(S): Collins, Tassie L.; Johnson, Michael G.; Ma, Ji; Medina, Julio C.; Miao, Shichang; Schneider, Manfred; Tonn, George R.

PATENT ASSIGNEE(S): Tularik Inc., USA

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004075863	A2	20040910	WO 2004-US5960	20040227
WO 2004075863	A3	20041209		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004242498	A1	20041202	US 2004-789165	20040226
EP 1603896	A2	20051214	EP 2004-715730	20040227
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PRIORITY APPLN. INFO.:			US 2003-451157P	P 20030227
			WO 2004-US5960	W 20040227

OTHER SOURCE(S): MARPAT 141:248743

AB Compds.; compns. and methods that are useful in the treatment of inflammatory and immune conditions and diseases are provided herein. In particular, the invention provides compds. which modulate the expression and/or function of a chemokine receptor. The subject methods are useful for the treatment of inflammatory and immunoregulatory disorders and diseases, such as multiple sclerosis, rheumatoid arthritis and type I diabetes.

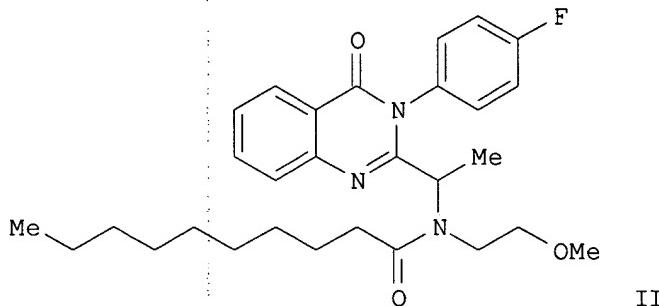
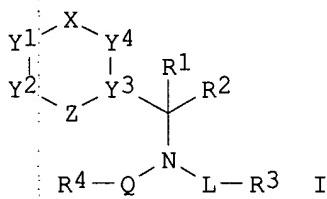
L21 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:813938 CAPLUS

DOCUMENT NUMBER: 137:337907  
 TITLE: Preparation of N-(heteroarylalkyl)acylamides as CXCR3 antagonists for treatment of inflammatory or immune conditions  
 INVENTOR(S): Medina, Julio C.; Johnson, Michael G.; Li, An-Rong; Liu, Jiwen; Huang, Alan Xi; Zhu, Liusheng; Marcus, Andrew P.  
 PATENT ASSIGNEE(S): Tularik Inc., USA  
 SOURCE: PCT Int. Appl., 205 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083143	A1	20021024	WO 2001-US47850	20011211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2431553	AA	20021024	CA 2001-2431553	20011211
US 2002169159	A1	20021114	US 2001-15532	20011211
US 6964967	B2	20051115		
EP 1343505	A1	20030917	EP 2001-273533	20011211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004536796	T2	20041209	JP 2002-580947	20011211
CN 1575177	A	20050202	CN 2001-822596	20011211
BR 2001016096	A	20051018	BR 2001-16096	20011211
US 2003069234	A1	20030410	US 2002-164690	20020606
US 6794379	B2	20040921		
US 2003055054	A1	20030320	US 2002-231895	20020829
US 7053215	B2	20060530		
ZA 2003004342	A	20050509	ZA 2003-4342	20030603
NO 2003002612	A	20030805	NO 2003-2612	20030610
US 2005075333	A1	20050407	US 2004-946935	20040921
US 7067662	B2	20060627		
US 2006116388	A1	20060601	US 2006-332054	20060113
PRIORITY APPLN. INFO.:			US 2000-255241P	P 20001211
			US 2001-296499P	P 20010606
			US 2001-15532	A1 20011211
			WO 2001-US47850	W 20011211
			US 2002-164690	A1 20020606
			US 2002-231895	A1 20020829

OTHER SOURCE(S): MARPAT 137:337907  
 GI



AB Title compds. I [wherein X = a bond, CO, CR5R6, CR5:, SO, SO2, or N: ; Z = a bond, N:, O, S, NR17, or CR7: ; with the proviso that X and Z are not both a bond; L = CO-alkylene or (hetero)alkylene; Q = (hetero)alkylene, CO, OCO, NR8CO, CH2CO, CH2SO, or CH2SO2; or NLQ = heterocyclyl; R1 and R2 = independently H, (hetero)alkyl, or (hetero)aryl; or CR1R2 = (hetero)cyclyl; or CR2L = heterocyclyl; R3 = OH, alkoxy, NH2, (di)alkylamino, heteroalkyl, heterocyclyl, acylaminoamidino, guanidino, ureido, CN, heteroaryl, carbamoyl, or carboxy; R4 = (hetero)alkyl, (hetero)aryl, etc.; R5 and R6 = independently H, (hetero)alkyl, or (hetero)aryl; or CR5R6 = a ring; R7 and R8 = independently H, (hetero)alkyl, or (hetero)aryl; Y1 and Y2 = independently CR12: N:, O, S, or NR13; Y3 = N or C, wherein C shares a double bond with either Z or Y4; Y4 = NR14, CR14:, N:, NR14CR15R16; R12 = H, halo, OH, NH2, (di)alkylamino, (hetero)alkyl, or (hetero)aryl, with provisos; R13 = H, (hetero)alkyl, (hetero)aryl, etc.; R14 = (hetero)alkyl, (hetero)aryl, etc.; R15 and R16 = independently H or (hetero)alkyl; R17 = H, (hetero)alkyl, (hetero)aryl, etc.; with provisos] were prepared as chemokine receptor modulators, in particular CXCR3 antagonists. For example, anthranilic acid was acylated with propionyl chloride and the amide cyclized using acetic anhydride to give 2-ethylbenzo[d][1,3]oxazine-4-one. Treatment with 4-fluoroaniline, followed by ethylene glycol and NaOH afforded 2-ethyl-3-(4-fluorophenyl)-3H-quinazolin-4-one. Bromination and stepwise addition of 1-amino-2-methoxyethane and decanoyl chloride produced the decanoic acid (quinazolinylethyl)(methoxyethyl)amide II. Approx. one third of the 101 invention compds. tested in a CXCR3 binding assay displayed activity with IC50 values of < 1  $\mu$ M. I are useful for the treatment of inflammatory and immunoregulatory disorders and diseases, such as multiple sclerosis, rheumatoid arthritis, and type I diabetes (no data).

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:293390 CAPLUS

DOCUMENT NUMBER: 136:304071

TITLE: Modulation of CCR4 function for disease therapy

INVENTOR(S): Collins, Tassie; Dairaghi, Daniel J.;

PATENT ASSIGNEE(S): Mahmud, Hoosen; McMaster, Brian E.; Medina, Julio C.; Schall, Thomas J.; Xu, Feng; Wang, Xuemei  
 SOURCE: Tularik Inc., USA; Chemocentryx, Inc.  
 PCT Int. Appl., 78 pp.  
 CODEN: PIIXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030358	A2	20020418	WO 2001-US42625	20011011
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2425259	AA	20020418	CA 2001-2425259	20011011
AU 2002013467	A5	20020422	AU 2002-13467	20011011
US 2002173524	A1	20021121	US 2001-975566	20011011
EP 1578341	A2	20050928	EP 2001-981850	20011011
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WO 2002094264	A1	20021128	WO 2002-US16393	20020522
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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US 2003018022	A1	20030123	US 2002-155605	20020522
US 2004039035	A1	20040226	US 2003-654112	20030902
PRIORITY APPLN. INFO.:			US 2000-240022P	P 20001011
			US 2001-293781P	P 20010523
			US 2001-975566	B3 20011011
			WO 2001-US42625	W 20011011

OTHER SOURCE(S): MARPAT 136:304071

AB The present invention is directed to compds. which are modulators of CCR4 chemokine receptor function and are useful in the prevention or treatment of inflammatory conditions and diseases such as allergic diseases, psoriasis, atopic dermatitis and asthma. The invention is also directed to pharmaceutical compns. comprising these compds. and the use of these compds. and compns. in the prevention or treatment of diseases in which CCR4 chemokine receptors are involved. Compds. and compns. are provided that bind to the CCR4 chemokine receptor and which are useful for treating diseases associated with CCR4 activity, such as contact hypersensitivity.

L21 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2002:101989 CAPLUS  
 DOCUMENT NUMBER: 136:303881

TITLE:

AUTHOR(S):

Reduction in the incidence of type 2 diabetes with lifestyle intervention or metformin  
Knowler, William C.; Barrett Connor, Elizabeth;  
Fowler, Sarah E.; Hamman, Richard F.; Lachin, John M.;  
Walker, Elizabeth A.; Nathan, David M.; Bray, G. A.;  
Culbert, I. W.; Champagne, C. M.; Crow, M. D.; Dawson,  
L.; Eberhardt, B.; Greenway, F. L.; Guillory, F. G.;  
Herbert, A. A.; Jeffirs, M. L.; Joyce, K.; Kennedy, B.  
M.; Lovejoy, J. C.; Mancuso, S.; Melancon, L. E.;  
Morris, L. H.; Reed, L.; Perault, J.; Rau, K.; Ryan,  
D. H.; Sanford, D. A.; Smith, K. G.; Smith, L. L.;  
Smith, S. R.; St. Amant, J. A.; Terry, M.; Tucker, E.;  
Tulley, R. T.; Vicknair, P. C.; Williamson, D.;  
Zachwieja, J. J.; Ehrmann, D. A.; Matulik, M. J.;  
Clark, B.; Collins, D. A.; Czech, K. B.; DeSandre, C.;  
Geiger, G.; Frief, S.; Harding-Clay, B.; Hilbrich, R.  
M.; Le Grange, D.; McCormick, M. R.; McNabb, W. L.;  
Polonsky, K. S.; Sauter, N. P.; Semenske, A. R.;  
Stepp, K. A.; Tobian, J. A.; Watson, P. G.;  
Mendoza, J. T.; Smith, K. A.; Caro, J.; Goldstein, B.;  
Lark, C.; Menefee, L.; Murphy, L.; Pepe, C.;  
Spandorfer, J. M.; Goldberg, R. B.; Rowe, P.; Calles,  
J.; Casanova, P.; Donahue, R. P.; Florez, H. J.;  
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Smith, C. M.; Steinke, S. C.; Van Dorsten, B.; Horton,  
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Harris, M.; Jackson, S. D.; Jacobsen, A. M.; Kula, L.  
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J.; Cullen, J.; Fujimoto, W. Y.; Katz, B.; Knopp, R.  
H.; Lipkin, E. W.; Marr, M.; McCann, B. S.; Palmer, J.  
P.; Schwartz, R. S.; Uyema, D.; Kitabachi, A. E.;  
Murphy, M. E.; Applegate, W. B.; Bryer-Ash, M.; Coble,  
J. H.; Crisler, A.; Cunningham, G.; Franklin, A. W.;  
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CORPORATE SOURCE: Diabetes Prevention Program Coordinating Center,  
Washington Univ., Rockville, MD, 20852, USA

SOURCE: New England Journal of Medicine (2002), 346(6),  
393-403

CODEN: NEJMAG; ISSN: 0028-4793

PUBLISHER: Massachusetts Medical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Type 2 diabetes affects approx. 8 % of adults in the United States. Some risk factors - elevated plasma glucose concns. in the fasting state and after an oral glucose load, over-weight, and a sedentary lifestyle - are potentially reversible. We hypothesized that modifying these factors with a lifestyle-intervention program or the administration of metformin would prevent or delay the development of diabetes. We randomly assigned 3234 nondiabetic persons with elevated fasting and post-load plasma glucose concns. to placebo, metformin (850 mg twice daily), or a lifestyle-modification program with the goals of at least a 7 % weight loss and at least 150 min of phys. activity per wk. The mean age of the participants was 51 yr, and the mean body-mass index (the weight in kilograms divided by the square of the height in meters) was 34.0; 68 % were women,

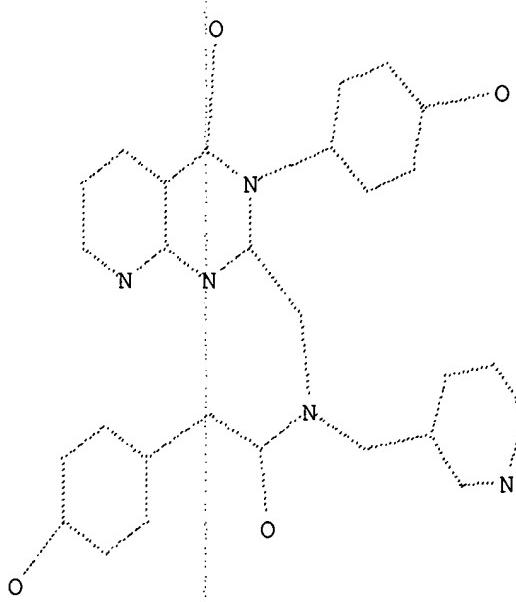
and 45 % were members of minority groups. The average follow-up was 2.8 yr. The incidence of diabetes was 11.0, 7.8, and 4.8 cases per 100 person-years in the placebo, metformin, and life-style groups, resp. The lifestyle intervention reduced the incidence by 58 % (95 % confidence interval, 48 to 66 %) and metformin by 31 % (95 % confidence interval, 17 to 43 %), as compared with placebo; the lifestyle intervention was significantly more effective than metformin. To prevent one case of diabetes during a period of three years, 6.9 persons would have to participate in the lifestyle-intervention program, and 13.9 would have to receive metformin. Lifestyle changes and treatment with metformin both reduced the incidence of diabetes in persons at high risk. The lifestyle intervention was more effective than metformin.

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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Structure attributes must be viewed using STN Express query preparation.

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L9 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2004:740142 CAPLUS  
 DOCUMENT NUMBER: 141:248743  
 TITLE: CXCR3 antagonists containing N-(heteroarylalkyl)acylamides  
 INVENTOR(S): Collins, Tassie L.; Johnson, Michael G.; Ma, Ji; Medina, Julio C.; Miao, Shichang; Schneider, Manfred; Tonn, George R.  
 PATENT ASSIGNEE(S): Tularik Inc., USA  
 SOURCE: PCT Int. Appl., 43 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004075863	A2	20040910	WO 2004-US5960	20040227
WO 2004075863	A3	20041209		
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US 2004242498	A1	20041202	US 2004-789165	20040226 <--
EP 1603896	A2	20051214	EP 2004-715730	20040227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			US 2003-451157P	P 20030227
			WO 2004-US5960	W 20040227

OTHER SOURCE(S): MARPAT 141:248743

AB Compds.; compns. and methods that are useful in the treatment of inflammatory and immune conditions and diseases are provided herein. In particular, the invention provides compds. which modulate the expression and/or function of a chemokine receptor. The subject methods are useful for the treatment of inflammatory and immunoregulatory disorders and diseases, such as multiple sclerosis, rheumatoid arthritis and type I diabetes.

IT 473719-41-4P

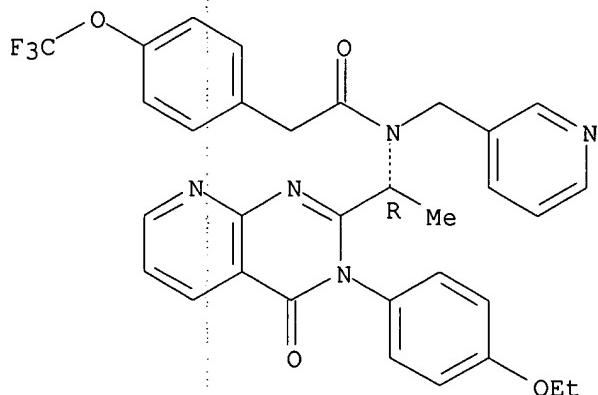
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(CXCR3 antagonists containing N-(heteroarylalkyl)acylamides for treatment of CXCR3-mediated conditions)

RN 473719-41-4 CAPLUS

CN Benzeneacetamide, N-[(1R)-1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxopyrido[2,3-d]pyrimidin-2-yl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



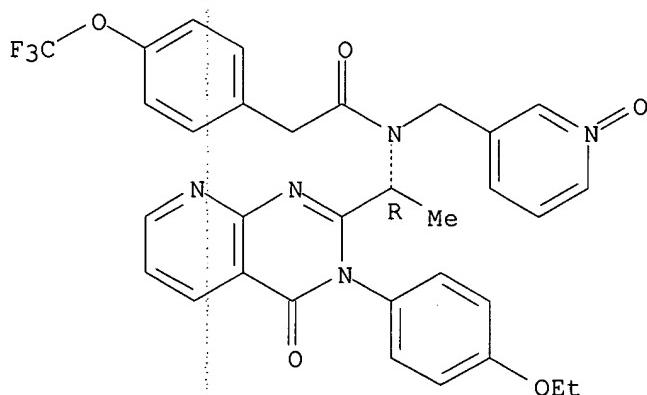
IT 752244-90-9 752244-91-0 752244-92-1  
752244-93-2 752244-94-3

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(CXCR3 antagonists containing N-(heteroarylalkyl)acylamides for treatment  
of CXCR3-mediated conditions)

RN 752244-90-9 CAPLUS

CN Benzeneacetamide, N-[(1R)-1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-  
oxopyrido[2,3-d]pyrimidin-2-yl]ethyl]-N-[(1-oxido-3-pyridinyl)methyl]-4-  
(trifluoromethoxy)- (9CI) (CA INDEX NAME)

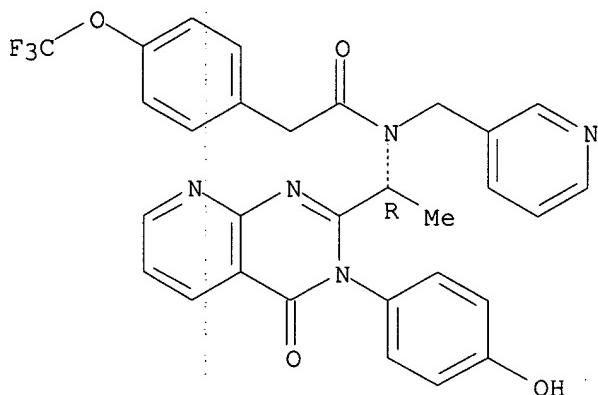
Absolute stereochemistry.



RN 752244-91-0 CAPLUS

CN Benzeneacetamide, N-[(1R)-1-[3,4-dihydro-3-(4-hydroxyphenyl)-4-  
oxopyrido[2,3-d]pyrimidin-2-yl]ethyl]-N-(3-pyridinylmethyl)-4-  
(trifluoromethoxy)- (9CI) (CA INDEX NAME)

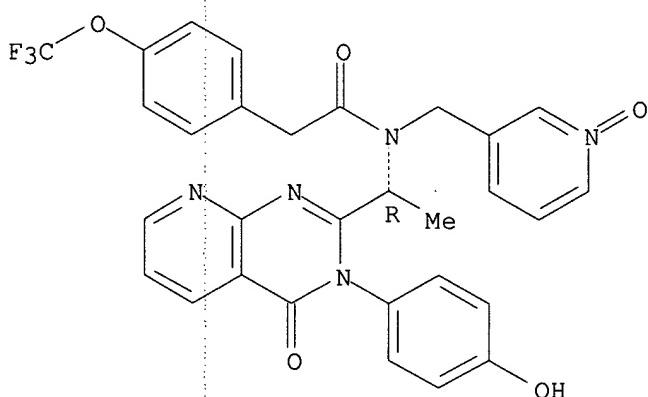
Absolute stereochemistry.



RN 752244-92-1 CAPLUS

CN Benzeneacetamide, N-[(1R)-1-[3,4-dihydro-3-(4-hydroxyphenyl)-4-oxopyrido[2,3-d]pyrimidin-2-yl]ethyl]-N-[(1-oxido-3-pyridinyl)methyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

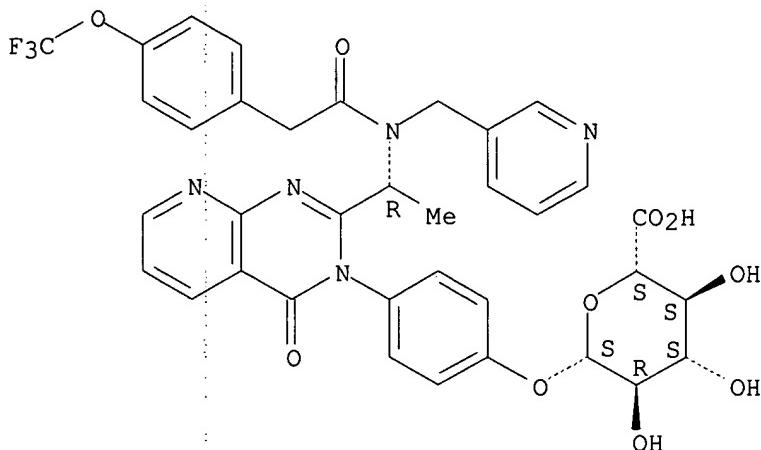
Absolute stereochemistry.



RN 752244-93-2 CAPLUS

CN  $\beta$ -D-Glucopyranosiduronic acid, 4-[4-oxo-2-[(1R)-1-[(3-pyridinylmethyl)[[4-(trifluoromethoxy)phenyl]acetyl]amino]ethyl]pyrido[2,3-d]pyrimidin-3(4H)-yl]phenyl (9CI) (CA INDEX NAME)

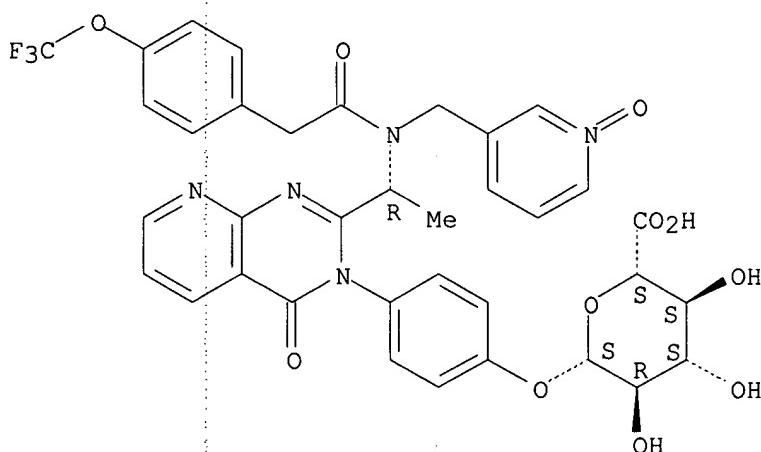
Absolute stereochemistry.



RN 752244-94-3 CAPLUS

CN β-D-Glucopyranosiduronic acid, 4-[2-[(1R)-1-[[[(1-oxido-3-pyridinyl)methyl][[4-(trifluoromethoxy)phenyl]acetyl]amino]ethyl]-4-oxopyrido[2,3-d]pyrimidin-3(4H)-yl]phenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:813938 CAPLUS

DOCUMENT NUMBER: 137:337907

TITLE: Preparation of N-(heteroarylalkyl)acylamides as CXCR3 antagonists for treatment of inflammatory or immune conditions

INVENTOR(S): Medina, Julio C.; Johnson, Michael G.; Li, An-Rong; Liu, Jiwen; Huang, Alan Xi; Zhu, Liusheng; Marcus, Andrew P.

PATENT ASSIGNEE(S): Tularik Inc., USA

SOURCE: PCT Int. Appl., 205 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

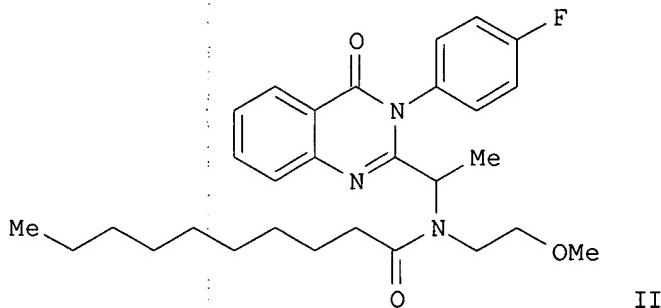
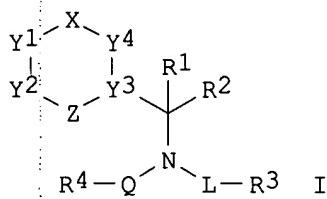
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

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NO 2003002612	A	20030805	NO 2003-2612	20030610
US 2005075333	A1	20050407	US 2004-946935	20040921
US 7067662	B2	20060627		
US 2006116388	A1	20060601	US 2006-332054	20060113
PRIORITY APPLN. INFO.:			US 2000-255241P	P 20001211
			US 2001-296499P	P 20010606
			US 2001-15532	A1 20011211
			WO 2001-US47850	W 20011211
			US 2002-164690	A1 20020606
			US 2002-231895	A1 20020829

OTHER SOURCE(S): MARPAT 137:337907  
GI



**AB** Title compds. I [wherein X = a bond, CO, CR5R6, CR5:, SO, SO2, or N: ; Z = a bond, N:, O, S, NR17, or CR7: ; with the proviso that X and Z are not both a bond; L = CO-alkylene or (hetero)alkylene; Q = (hetero)alkylene, CO, OCO, NR8CO, CH2CO, CH2SO, or CH2SO2; or NLQ = heterocyclyl; R1 and R2 = independently H, (hetero)alkyl, or (hetero)aryl; or CR1R2 = (hetero)cyclyl; or CR2L = heterocyclyl; R3 = OH, alkoxy, NH2, (di)alkylamino, heteroalkyl, heterocyclyl, acylaminoamidino, guanidino, ureido, CN, heteroaryl, carbamoyl, or carboxy; R4 = (hetero)alkyl, (hetero)aryl, etc.; R5 and R6 = independently H, (hetero)alkyl, or (hetero)aryl; or CR5R6 = a ring; R7 and R8 = independently H, (hetero)alkyl, or (hetero)aryl; Y1 and Y2 = independently CR12: N:, O, S, or NR13; Y3 = N or C, wherein C shares a double bond with either Z or Y4; Y4 = NR14, CR14:, N:, NR14CR15R16; R12 = H, halo, OH, NH2, (di)alkylamino, (hetero)alkyl, or (hetero)aryl, with provisos; R13 = H, (hetero)alkyl, (hetero)aryl, etc.; R14 = (hetero)alkyl, (hetero)aryl, etc.; R15 and R16 = independently H or (hetero)alkyl; R17 = H, (hetero)alkyl, (hetero)aryl, etc.; with provisos] were prepared as chemokine receptor modulators, in particular CXCR3 antagonists. For example, anthranilic acid was acylated with propionyl chloride and the amide cyclized using acetic anhydride to give 2-ethylbenzo[d][1,3]oxazine-4-one. Treatment with 4-fluoroaniline, followed by ethylene glycol and NaOH afforded 2-ethyl-3-(4-fluorophenyl)-3H-quinazolin-4-one. Bromination and stepwise addition of 1-amino-2-methoxyethane and decanoyl chloride produced the decanoic acid (quinazolinylethyl)(methoxyethyl)amide II. Approx. one third of the 101 invention compds. tested in a CXCR3 binding assay displayed activity with IC50 values of < 1  $\mu\text{M}$ . I are useful for the treatment of inflammatory and immunoregulatory disorders and diseases, such as multiple sclerosis, rheumatoid arthritis, and type I diabetes (no data).

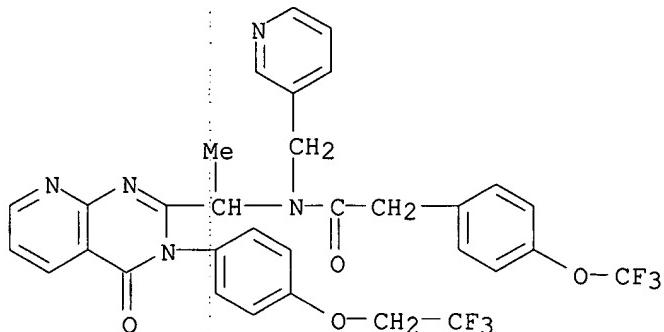
**IT** 473720-05-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(CXCR3 antagonist; preparation of N-(heteroarylalkyl)acylamides as CXCR3 antagonists for treatment of inflammatory or immune conditions)

**RN** 473720-05-7 CAPLUS

**CN** Benzeneacetamide, N-[1-[3,4-dihydro-4-oxo-3-[4-(2,2-

trifluoroethoxy)phenyl]pyrido[2,3-d]pyrimidin-2-yl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



IT 473719-41-4P 473720-06-8P 473720-30-8P

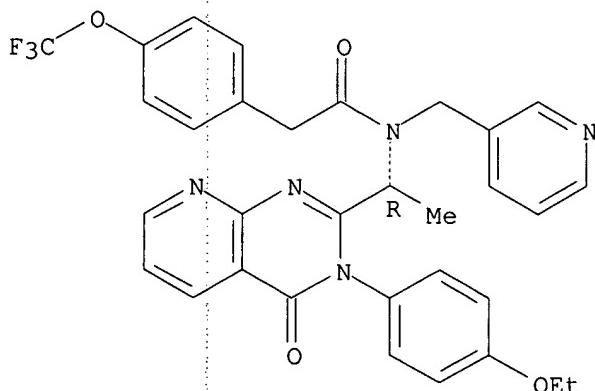
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(CXCR3 antagonist; preparation of N-(heteroarylalkyl)acylamides as CXCR3 antagonists for treatment of inflammatory or immune conditions)

RN 473719-41-4 CAPLUS

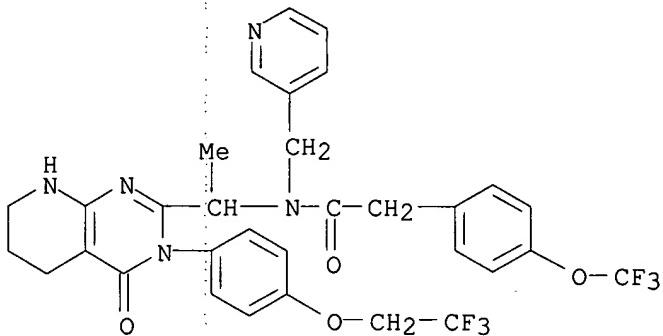
CN Benzeneacetamide, N-[{(1R)-1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxopyrido[2,3-d]pyrimidin-2-yl]ethyl}-N-(3-pyridinylmethyl)-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 473720-06-8 CAPLUS

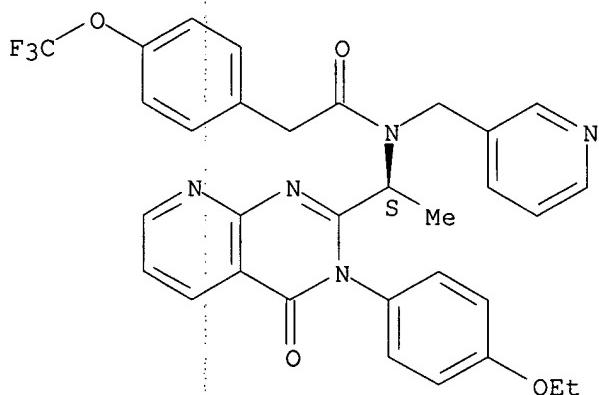
CN Benzeneacetamide, N-[1-[3,4,5,6,7,8-hexahydro-4-oxo-3-[4-(2,2,2-trifluoroethoxy)phenyl]pyrido[2,3-d]pyrimidin-2-yl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



RN 473720-30-8 CAPLUS

CN Benzeneacetamide, N-[(1S)-1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxopyrido[2,3-d]pyrimidin-2-yl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file marpat

FILE 'MARPAT' ENTERED AT 10:16:00 ON 13 JUL 2006

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FILE CONTENT: 1961-PRESENT VOL 145 ISS 2 (20060707/ED)

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MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 2006118302 08 JUN 2006

DE 102004052060 27 APR 2006

EP 1650181 26 APR 2006

JP 2006111933 27 APR 2006

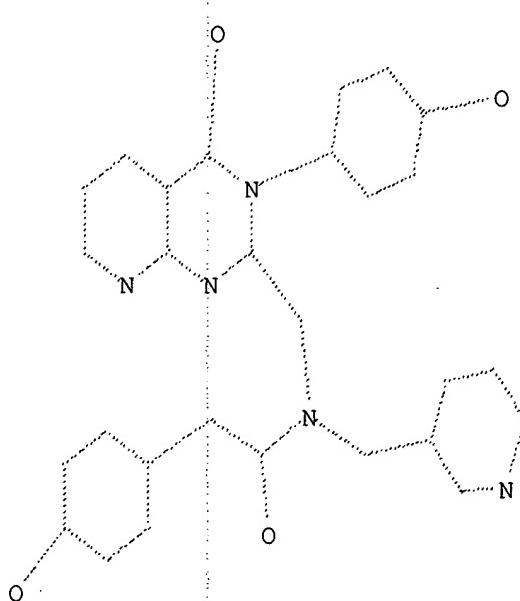
WO 2006053912 26 MAY 2006

GB 2419093 19 APR 2006  
FR 2877004 28 APR 2006  
RU 2273632 10 APR 2006  
CA 2518664 10 MAR 2006

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

=> d que 113  
L1 STR



Structure attributes must be viewed using STN Express query preparation.

L3 9 SEA FILE=REGISTRY SSS FUL L1  
L4 1 SEA FILE=CAPLUS ABB=ON PLU=ON US2004-789165/AP  
L5 15 SEA FILE=REGISTRY ABB=ON PLU=ON (1121-60-4/B1 OR 156-43-4/B1  
OR 4315-07-5/B1 OR 473719-41-4/B1 OR 473720-89-7/B1 OR  
473720-92-2/B1 OR 5345-47-1/B1 OR 752244-90-9/B1 OR 752244-91-0  
/B1 OR 752244-92-1/B1 OR 752244-93-2/B1 OR 752244-94-3/B1 OR  
752244-95-4/B1 OR 752244-96-5/B1 OR 7764-95-6/B1)  
L6 6 SEA FILE=REGISTRY ABB=ON PLU=ON L5 AND L3  
L7 2 SEA FILE=CAPLUS ABB=ON PLU=ON L6  
L8 2 SEA FILE=CAPLUS ABB=ON PLU=ON L3  
L9 2 SEA FILE=CAPLUS ABB=ON PLU=ON (L7 OR L8 OR L4)  
L12 3 SEA FILE=MARPAT SSS FUL L1  
L13 2 SEA FILE=MARPAT ABB=ON PLU=ON L12 NOT L9

=> d ibib abs qhit 113 tot

L13 ANSWER 1 OF 2 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 144:128994 MARPAT  
TITLE: Tetrahydroquinazolin-4(3H)-one-related and  
tetrahydropyrido[2,3-d]pyrimidin-4(3H)-one-related

compounds, and their preparation, and pharmaceutical compositions for modulating CXCR3 chemokine receptor and for treatment of inflammatory and immune conditions or disorders

INVENTOR(S): Fu, Zice; Johnson, Michael G.; Li, An-Rong; Marcus, Andrew P.; Medina, Julio C.; Bergeron, Philippe; Chen, Xiaoqi; Deignan, Jeffrey; Du, Xiaohui; Duquette, Jason A.; Gustin, Darin; Mihalic, Jeffrey T.

PATENT ASSIGNEE(S): Amgen Sf, LLC, USA

SOURCE: PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

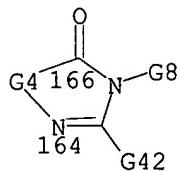
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US 2006069106	A1	20060330	US 2005-168006	20050627
PRIORITY APPLN. INFO.: GI			US 2004-583823P	20040628

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

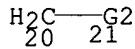
AB Compds. of formula I where Q is CO, CH<sub>2</sub>CO, CH<sub>2</sub>SO, or CH<sub>2</sub>SO<sub>2</sub>; L is a bond or C<sub>1-5</sub> alkylene; A<sub>1</sub>, A<sub>2</sub> and A<sub>3</sub> are independently selected from C(R')(R'') or CO; A<sub>4</sub> is C(R')(R'') or N(R''') where each R' and R'' is independently selected from H, halo, C<sub>1-8</sub> alkyl, C<sub>2-8</sub> heteroalkyl, C<sub>1-4</sub> fluoroalkyl, (hetero)aryl, (hetero)aryl-C<sub>1-8</sub>-alkyl, optionally, R' and R'' groups on adjacent carbon may be combined to form a 5- or 6-membered fused ring, and R' and R'' groups attached to the same carbon atom may be combined to form a 3- to 8-membered spirocyclic ring; R''' is H, C<sub>1-8</sub> alkyl or C<sub>2-8</sub> heteroalkyl; R<sub>1</sub> is (hetero)aryl; R<sub>2</sub> is H, halo, C<sub>1-10</sub> (heterocyclo)alkyl, C<sub>2-10</sub> heteroalkyl, C<sub>1-10</sub> alkylaryl or C<sub>2-10</sub> alkylheteroaryl, optionally R<sub>2</sub> may be combined with L to form a 5-, 6-, 7-, or 8-membered ring containing 1-3 heteroatoms selected from N, O, or S; R<sub>3</sub> is absent or H, CHR<sub>6</sub>R<sub>7</sub>, S(O)mR<sub>5</sub>, S(O)mN(R<sub>8</sub>)R<sub>9</sub>, N(R<sub>8</sub>)SO<sub>2</sub>R<sub>5</sub>, N(R<sub>8</sub>)CH<sub>2</sub>R<sub>10</sub>, or certain aza/cyclic groups; R<sub>4</sub> = (hetero)alkyl, (hetero)aryl, (hetero)aryl(hetero)alkyl; where R<sub>5</sub> is C<sub>1-8</sub> alkyl, or C<sub>2-8</sub> heteroalkyl, (hetero)aryl; R<sub>6</sub> and R<sub>7</sub> independently are H, C<sub>1-8</sub> alkyl, or C<sub>2-8</sub> heteroalkyl; R<sub>8</sub> is H, C<sub>1-8</sub> alkyl, C<sub>2-8</sub> heteroalkyl, or (hetero)aryl; R<sub>9</sub> is C<sub>1-8</sub> alkyl or CH<sub>2</sub>R<sub>6</sub>, R<sub>10</sub> is aryl, m is 0, 1 or 2; with provisos; or a pharmaceutical acceptable salt or prodrug thereof are disclosed in this invention. The subject compds. were useful for

treatment of inflammatory and immune conditions and diseases. Compns. and methods of treatment using the invention compds. are also provided. For example, the subject methods were useful for treatment of inflammatory and immune disorders and disease such as multiple sclerosis, rheumatoid arthritis, psoriasis, and inflammatory bowel disease. Example compound II was prepared via conjugate addition of amine III to Et vinyl sulfone, followed by acylation with in situ-prepared 4-fluoro-3-trifluoromethylphenylacetyl chloride and hydrogenation. The invention compds. were useful for modulating CXCR3 chemokine receptor (no data) and for treatment of inflammatory and immune conditions or disorders (no data).

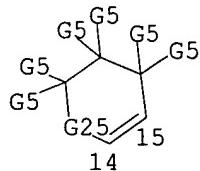
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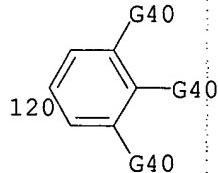
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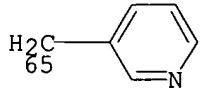
G2 = C(O)  
 G3 = bond  
 G4 = 14-164 15-166



G8 = 120



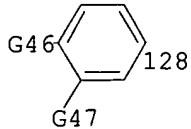
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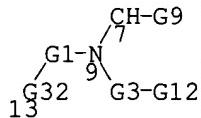
G25 = 141

N—G43  
141

G32 = 128



G40 = OH  
G42 = 7



G46 = OCF<sub>3</sub>  
Patent location:  
Note:  
Note:  
Note:

claim 1  
or pharmaceutically acceptable salts, or prodrugs  
substitution is restricted  
additional ring formation also claimed

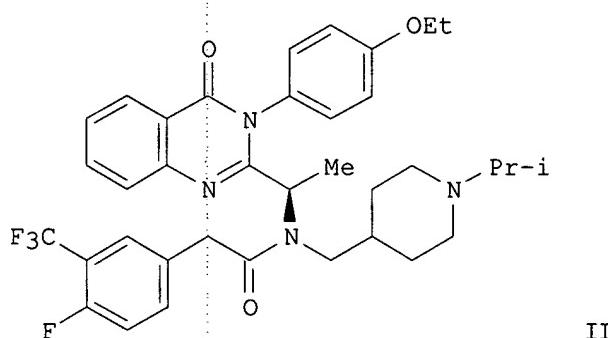
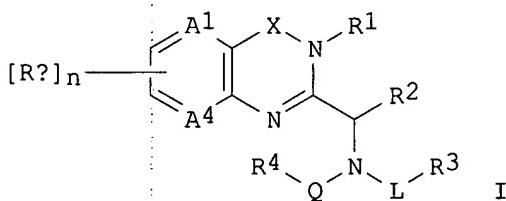
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 2 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 144:128993 MARPAT  
TITLE: Preparation of fused pyrimidine derivatives as CXCR3 receptor modulators for prevention and treatment of inflammatory and immunoregulatory conditions  
INVENTOR(S): Fu, Zice; Johnson, Michael G.; Li, An-Rong; Marcus, Andrew P.; Medina, Julio C.; Bergeron, Philippe; Chen, Xiaoqi; Deignan, Jeffrey; Du, Xiaohui; Duquette, Jason A.; Gustin, Darin; Mihalic, Jeffrey T.  
PATENT ASSIGNEE(S): Amgen Inc., USA  
SOURCE: PCT Int. Appl., 80 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006004915	A1	20060112	WO 2005-US23251	20050628
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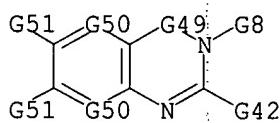
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US 2006069099 A1 20060330 US 2005-168005 20050627  
 PRIORITY APPLN. INFO.: US 2004-583901P 20040628  
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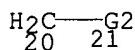


AB Title compds. I [A1, A4 = independently CH and derivs., N; Q = a bond, hetero/alkylene, CO, CH<sub>2</sub>CO, etc.; L = a bond, alkylene; X = CH<sub>2</sub>, SO<sub>2</sub>, CO; Ra = H, OH and derivs., halo, etc.; n = 0-4; R1 = hetero/aryl; R2 = H, halo, hetero/alkyl, etc.; or R2 may be combined with L to form a 5- to 8-membered ring containing 1-3 heteroatoms; R3 = absent, H, SR5, NHSO<sub>2</sub>R5, piperidin-4-yl, etc.; R3 may be combined with R2 to form a 4- to 8-membered ring containing 1-3 heteroatoms; R5 = hetero/alkyl, hetero/aryl; R4 = hetero/alkyl, hetero/aryl, etc.; and their pharmaceutically acceptable salts and prodrugs] were prepared as chemokine receptor CXCR3 modulators (no data). Two biol. assays are given. Thus, reductive amination of 1-isopropylpiperidine-4-carboxaldehyde with 2-((1R)-1-aminoethyl)-3-(4-ethoxyphenyl)-4(3H)-quinazolinone, and acylation of the amine intermediate with [4-fluoro-3-(trifluoromethyl)phenyl]acetic acid gave quinazolinone II. I are useful for the treatment of inflammatory and immune disorders and diseases, such as multiple sclerosis, rheumatoid arthritis, psoriasis, and inflammatory bowel disease (no data).

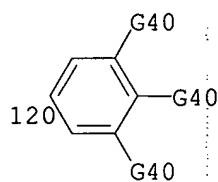
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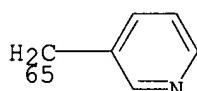
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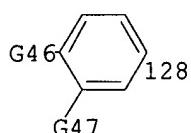
G2 = C(O)  
G3 = bond  
G8 = 120



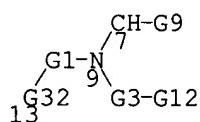
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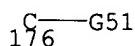
G32 = 128



G40 = OH  
G42 = 7



G46 = OCF<sub>3</sub>  
G49 = C(O)  
G50 = N / 176



Patent location:  
Note:

claim 1  
or pharmaceutically acceptable salts, or prodrugs

REFERENCE COUNT:

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT